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     (FILE 'CAPLUS' ENTERED AT 11:50:54 ON 08 SEP 2001)
               DELETE HIS

     FILE 'REGISTRY' ENTERED AT 12:15:25 ON 08 SEP 2001
L1               SCREEN 1006 AND 2073
L2               STRUCTURE UPLOADED
L3               QUE L2 AND L1
L4             0 S L3
L5             0 S L3 FUL
                 E OXOPENTANOIC ACID, 5-HYDROXY/CN
L6             1 S E2

     FILE 'CAPLUS' ENTERED AT 12:17:47 ON 08 SEP 2001
                 E NAKATA TADSHI/IN
L7            24 S E1
L8           386 S (?OXOPENTANOIC(3W)ACID)/IA
L9             0 S L7 AND L8
L10        74961 S MARINE/IA
L11            0 S L7 AND L10
L12       717896 S PY=1994
L13            0 S L7 AND L12

     FILE 'REGISTRY' ENTERED AT 12:22:57 ON 08 SEP 2001
L14              SCREEN 1006
L15              STRUCTURE UPLOADED
L16              QUE L15 AND L14
L17         1017 S L16 FUL

     FILE 'CAPLUS' ENTERED AT 12:24:24 ON 08 SEP 2001
L18          380 S L17/P
L19         1427 S (LITHIUM(2W)AMIDE)/IA
L20            1 S L18 AND L19


L20  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
ACCESSION NUMBER:         2000:881110  CAPLUS
DOCUMENT NUMBER:          134:41920
TITLE:                    Processes for the preparation of
5-hydroxy-3-
                          oxopentanoic acid derivatives
INVENTOR(S):              Nishiyama, Akira; Inoue, Kenji
PATENT ASSIGNEE(S):       Kaneka Corp., Japan
SOURCE:                   PCT Int. Appl., 32 pp.
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CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000075099 | A1 | 20001214 | WO 2000-JP3574 | 20000602 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|
| EP 1104750 | A1 | 20010606 | EP 2000-935526 | 20000602 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:
JP 1999-158033 A 19990604
JP 2000-23804 A 20000201
WO 2000-JP3574 W 20000602

OTHER SOURCE(S): CASREACT 134:41920; MARPAT 134:41920

AB Processes by which 5-hydroxy-3-oxopentanoic acid derivs. represented by formula R2CH(OH)CH2COCH2CO2R1 [I; R1 = C1-12 alkyl, C6-12 aryl, C7-12 aralkyl; R2 = H, (un)substituted C1-12 alkyl, C2-12 alkenyl, C6-12 aryl,

or C7-12 aralkyl, cyano, CO2H, alkoxycarbonyl], useful as intermediates of drugs, in particular HMG-CoA reductase inhibitors, can be prepd. from inexpensive and easily available raw materials under noncryogenic conditions. Specifically, described are a process for prepg. 5-hydroxy-3-oxopentanoic acid derivs. I by making **lithium amide** act on a mixt. of an acetic acid ester and a 3-hydroxypropionic acid deriv. at a temp. of -20.degree.C or above; and another process for prepg. 5-hydroxy-3-oxopentanoic acid derivs. by treating a mixt. of an acetic acid ester and a 3-hydroxypropionic acid deriv. with a Grignard reagent and then making **lithium amide** act on the resulting mixt. at a temp. of -20.degree. or above. These processes are carried under moderately low temp. compared to known methods which require very cold temp. (-78.degree. to -40.degree.). Thus, a soln. of 3.90 g diisopropylamine in 3 mL THF was added dropwise to 22.9 mL 1.5 mol/L BuLi/hexane with stirring at 5.degree. and stirred fro 1 h to give a soln. of lithium diisopropylamide. Tert-butylmagnesium chloride/PhMe-THF (1:2.5) (1.75 mol/kg, 5.7 g) was added to a soln. of 2.38 g Et 4-benzyloxy-3-hydroxybutyrate and 2.32 g tert-Bu acetate in 3.0 mL THF with stirring at 0-5.degree. over a period of 10 min and stirred at 5.degree. for 50 min, followed by adding dropwise the lithium diisopropylamide soln. prepd. above over a period of 30 min, and the resulting mixt. was stirred at 5-20.degree. for 16 h and poured into a mixt. of 3 N aq. HCl and 30 mL EtOAc to give, after workup and silica gel chromatog., 79% 6-benzyloxy-5-hydroxy-3-oxohexanoic acid tert-Bu ester.

09/762,215

REFERENCE COUNT: 3
REFERENCE(S):
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(3) Nakata, T; Chem Pham Bull 1994, V42(11), P2403

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08/09/2001